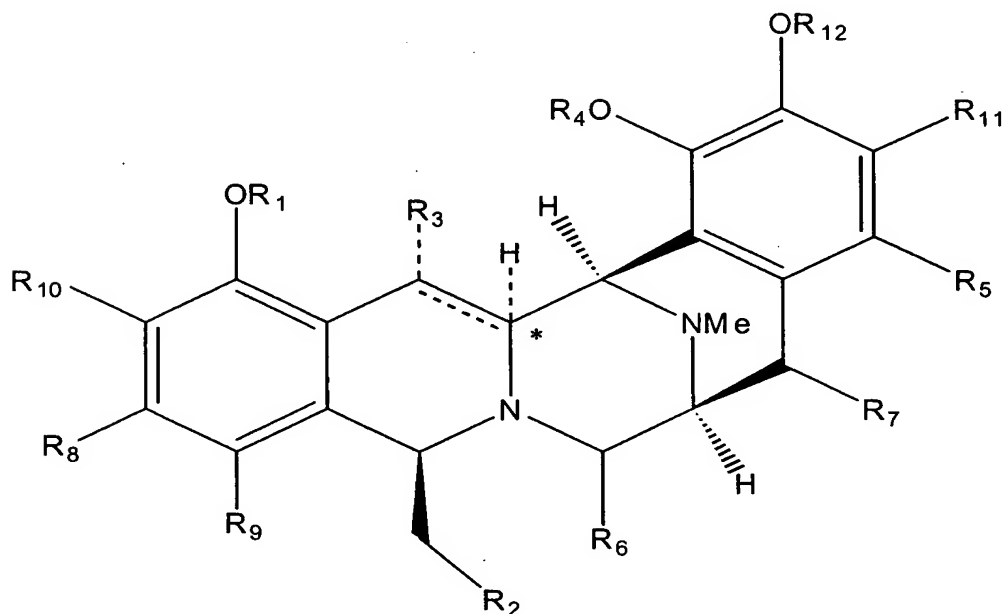


COMPOUNDS OF THE  
SAFRAMYCIN-ECTEINASCIDIN SERIES, USES, AND SYNTHESIS THEREOF

Abstract of the Invention

Compounds of the saframycin-ecteinasidin series with cytotoxic properties having the following general formula, their uses and synthesis, are disclosed:



wherein  $R_1$  and  $R_4$  is H, a  $C_1$  to  $C_4$  alkyl group, or an acyl group;

wherein  $R_2$  is an ether, ester, amide, or a phthalimide group;

wherein  $R_3$  is =O, OH, an ether group, an acyl group such as OC(O)Me, OC(O)Bn and OC(O)Et, or a sulfide group; wherein  $R_5$  is H, halogen, OH, an ether group, an acyl group, or an amide group; wherein  $R_6$  is =O, OH,  $OCH_3$ , CN, or an acyloxy group; wherein  $R_7$ , is =O, OH, halogen, an ether group, or an acyl group; wherein  $R_8$  and  $R_9$  are independently H,  $CH_3$ ,  $OCH_3$ ,  $OC_2H_5$ ,  $CF_3$ , halogen such as Br and F, or  $R_8$  and  $R_9$  are joined together as a methylenedioxy group, or other five or six membered ring; wherein  $R_{10}$  and  $R_{11}$  are independently  $CH_3$ ,  $OCH_3$ ,  $OC_2H_5$ ,  $SCH_3$ , or  $SC_2H_5$ ; wherein  $R_{12}$  is H, a  $C_1$  to  $C_4$  alkyl group, or an

acyl group; and wherein the chiral center marked \* has the R or the S configuration.